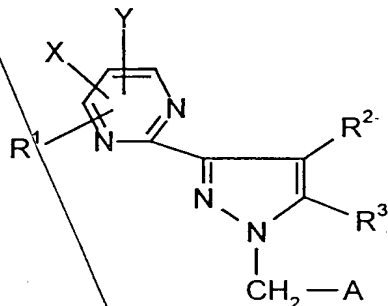


Patent Claims

1. Substituted pyrazole derivatives of the general formula (I)



(I),

in which

at least one of the substituents R¹, X and Y represents saturated or partially unsaturated C₃-C₈-cycloalkyl,

which may optionally be mono- or polysubstituted by amino, azido, formyl, mercaptyl, carboxyl, hydroxyl, morpholino, piperidino, pyrrolidino, sulphonamino, straight-chain, cyclic or branched acyl, acylamino, alkoxy, benzyloxy, alkylamino, dialkylamino, alkylsulphonyl, alkylsulphonamino, alkylthio, alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen, phenyl

and/or is optionally substituted by

straight-chain or branched or cyclic alkyl having up to 6 carbon atoms which for its part may be substituted by amino, mercaptyl, carboxyl, hydroxyl, morpholino, piperidino, pyrrolidino, straight-chain, cyclic or branched acyl, acylamino, alkoxy, alkylamino, dialkylamino, alkylsulphonyl, alkylthio,

phenyl, alkylsulphonamino, alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen,

and where the optionally remaining radicals R^1 , X and/or Y are identical or different and each represents hydrogen, azido, formyl, mercaptyl, carboxyl, hydroxyl, straight-chain or branched acyl, alkoxy, alkylthio or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen, phenyl or straight-chain or branched alkenyl or alkynyl having in each case up to 6 carbon atoms or alkyl having up to 20 carbon atoms, where both alkenyl, alkynyl and/or alkyl may optionally be substituted by hydroxyl, amino, azido, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms, aryl having 6 to 10 carbon atoms, a 5- to 6-membered aromatic heterocycle having up to 3 heteroatoms from the group consisting of S, N and O, halogen, cyano, dialkylamino having up to 6 carbon atoms, alkylamino having up to 6 carbon atoms and/or cycloalkyl having 3 to 8 carbon atoms or by a radical of the formula $-OR^4$,

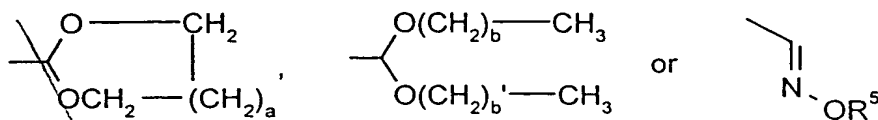
in which

R^4 represents straight-chain or branched acyl having up to 5 carbon atoms,

and/or the optionally remaining radicals R^1 , X and/or Y each represents a radical of the formula

C²
cont⁵

10
15
20
25



in which

a, b and b' are identical or different and represent a number 0, 1, 2 or 3,

R⁵ represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

and/or the optionally remaining radicals R¹, X and/or Y each represent a 3- to 8-membered ring, which may also be linked to the pyrimidine via a -CO- bridge, and which may be saturated, unsaturated and/or partially unsaturated, which may contain 1 to 4 heteroatoms from the group consisting of N, O, S, SO, SO₂, which may also be attached via N, which may optionally contain a carbonyl group as ring member and which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of straight-chain or branched alkyl having up to 6 carbon atoms which is optionally substituted by hydroxyl, amino, halogen, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms,

and/or the optionally remaining radicals R¹, X and/or Y each represent straight-chain or branched acyl having up to 6 carbon atoms which is optionally substituted by halogen,

or

represent straight-chain or branched acyloxy having up to 6 carbon atoms,

or

represent arylthio having 6 to 10 carbon atoms or heteroarylthio,

and/or the optionally remaining radicals R^1 , X and/or Y represent radicals of the formulae $-SO_3H$ or $S(O)_2R^6$,

in which

c represents a number 1 or 2,

R^6 represents straight-chain or branched alkyl having 1 to 10 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, aryl having 6 to 10 carbon atoms or a 5- to 6-membered heterocycle having up to 3 heteroatoms from the group consisting of S, N and O, where the ring systems may optionally be substituted by halogen or by straight-chain or branched alkyl or alkoxy having in each case up to 4 carbon atoms,

and/or the optionally remaining radicals R^1 , X and/or Y each represent a radical of the formula $PO(OR^7)(OR^8)$,

in which

R^7 and R^8 are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 8 carbon atoms or cycloalkyl having 3 to 8 carbon atoms, aryl having 6 to 10 carbon atoms or benzyl,

and/or the optionally remaining radicals R^1 , X and/or Y each represent oxycycloalkyl having 3 to 8 carbon atoms or represent radicals of the formulae

C²
cont⁵

RECEIVED FOR DEPOSIT

$-\text{NH}-\text{C}(=\text{NH})\text{NH}_2$, $\text{CON}=\text{C}(\text{NH}_2)_2$ or $-\text{C}=\text{NH}(\text{NH}_2)$, $(\text{CO})_d\text{NR}^9\text{R}^{10}$ or $-\text{NHCONR}^9\text{R}^{10}$,

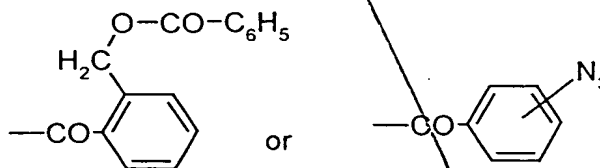
in which

d represents a number 0 or 1,

R^9 and R^{10} are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 14 carbon atoms or cycloalkyl having 3 to 14 carbon atoms, aryl having 6 to 10 carbon atoms or a 3- to 10-membered ring having up to 5 heteroatoms from the group consisting of N, O, S, which may also be attached via N, where the abovementioned radicals may optionally be substituted by aryl having 6 to 10 carbon atoms, heterocyclyl, cycloalkyl having 3 to 7 carbon atoms, hydroxyl, amino or straight-chain or branched alkoxy, acyl or alkoxycarbonyl having in each case up to 6 carbon atoms,

and in the case that $d = 0$,

R^9 and R^{10} may also represent straight-chain, branched or cyclic acyl having up to 14 carbon atoms, straight-chain or branched hydroxyalkyl having up to 6 carbon atoms, straight-chain or branched alkoxyalkyl having a total of up to 12 carbon atoms, straight-chain or branched alkoxycarbonyl or acyloxyalkyl having in each case up to 6 carbon atoms or a radical of the formula $-\text{SO}_2\text{R}^{11}$ or radicals of the formulae

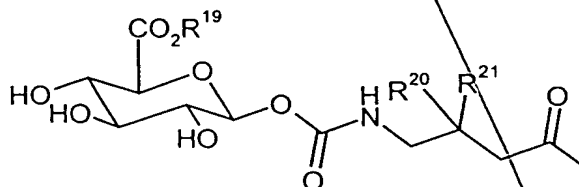
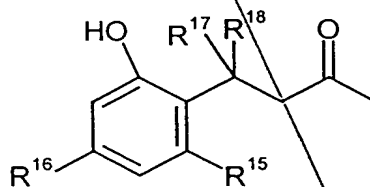
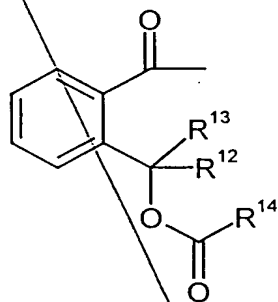
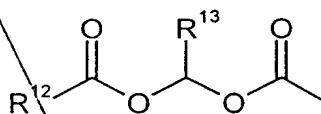
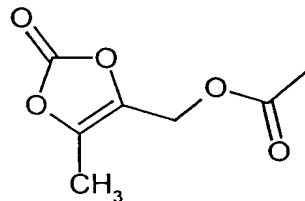


in which

R^{11} represents straight-chain or branched alkyl having up to 4 carbon atoms,

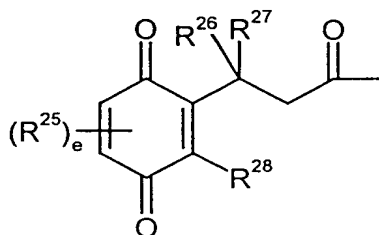
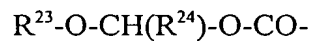
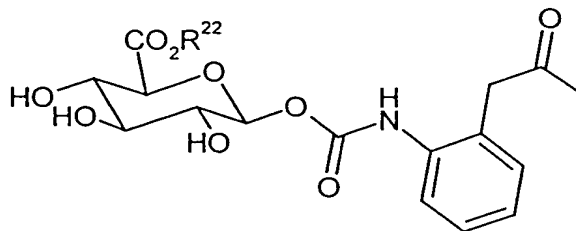
and/or

R^9 and R^{10} represent radicals of the formulae



C⁵
Gnt

100250" 60/44/50



in which

R^{12} , R^{13} and R^{15} to R^{28} are identical or different and each represents hydrogen
or straight-chain or branched alkyl having up to 4 carbon atoms,

e represents a number 0, 1 or 2,

and

R^{14} represents straight-chain or branched alkyl having up to 6 carbon
atoms or cycloalkyl having 3 to 8 carbon atoms,

R^9 and R^{10} are identical or different and each represents hydrogen; alkyl
having up to 14 carbon atoms which is optionally substituted by
hydroxyl or alkoxy having up to 8 carbon atoms; aryl having 6 to 10
carbon atoms which is optionally substituted by halogen,

*C²
cont⁵*

R^2 and R^3 form, together with the double bond, a fused phenyl ring or a fused 6-membered saturated or aromatic heterocycle having up to 3 heteroatoms from the group consisting of N, S and O,

which is optionally substituted up to 3 times by identical or different substituents from the group consisting of formyl, carboxyl, hydroxyl, mercaptyl, straight-chain or branched acyl, alkylthio or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen or straight-chain or branched alkyl or alkoxy having in each case up to 6 carbon atoms which for its part may be substituted by hydroxyl, amino, carboxyl, straight-chain or branched acyl, alkoxy or alkoxycarbonyl having in each case up to 5 carbon atoms,

and/or the fused phenyl ring or the fused 6-membered saturated or aromatic heterocycle is optionally substituted by a group of the formula $-NR^{29}R^{30}$,

in which

R^{29} and R^{30} are identical or different and each represents hydrogen or straight-chain or branched alkyl having up to 6 carbon atoms,

or

R^{29} represents hydrogen and

R^{30} represents acyl having up to four carbon atoms

and/or the fused phenyl ring or fused 6-membered saturated or aromatic heterocycle are optionally substituted by phenyl which for its part may be substituted up to 2 times by identical or different substituents from the group

consisting of halogen, straight-chain or branched alkyl and alkoxy having in each case up to 6 carbon atoms

and/or the fused phenyl ring or the fused 6-membered saturated or aromatic heterocycle are optionally substituted by a group of the formula - $N=CH-NR^{31}R^{32}$,

in which

10 R^{31} and R^{32} are identical or different and each represents hydrogen, phenyl or straight-chain or branched alkyl having up to 6 carbon atoms,

15 A represents a 5- or 6-membered aromatic or saturated heterocycle having up to 3 heteroatoms from the group consisting of S, N and O or represents phenyl,

20 which are optionally substituted up to 3 times by identical or different substituents from the group consisting of amino, mercaptyl, hydroxyl, formyl, carboxyl, straight-chain or branched acyl, alkylthio, alkyloxyacyl, alkoxy or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, trifluoromethyl, azido, halogen, phenyl and straight-chain or branched alkyl having up to 6 carbon atoms which for its part may be substituted by hydroxyl, carboxyl, straight-chain or branched acyl, alkoxy or alkoxycarbonyl having in each case up to 5 carbon atoms,

25 and/or

is substituted by a group of the formula $-(CO)_f-NR^{33}R^{34}$,

in which

30 f represents a number 0 or 1,

R^{33} and R^{34} are identical or different and represent hydrogen, phenyl, benzyl or straight-chain or branched alkyl or acyl having in each case up to 5 carbon atoms,

and their isomeric forms and salts.

2. Compounds according to Claim 1,

in which

at least one of the substituents R^1 , X and Y represents cyclopropyl, cyclobutyl, cyclopentenyl, cyclohexyl or cycloheptyl which may optionally be mono- or polysubstituted by amino, azido, formyl, mercaptyl, carboxyl, hydroxyl, morpholino, piperidino, pyrrolidino, sulphonamino, straight-chain, cyclic or branched acyl, acylamino, alkoxy, benzyloxy, alkylamino, dialkylamino, alkylsulphonyl, alkylsulphonamino, alkylthio, alkoxycarbonyl having in each case up to 4 carbon atoms, nitro, cyano, halogen, phenyl and/or is optionally substituted by

straight-chain or branched or cyclic alkyl having up to 4 carbon atoms which for its part may be substituted by amino, mercaptyl, carboxyl, hydroxyl, morpholino, piperidino, pyrrolidino, straight-chain, cyclic or branched acyl, acylamino, alkoxy, alkylamino, dialkylamino, alkylsulphonyl, alkylthio, phenyl, alkylsulphonamino, alkoxycarbonyl having in each case up to 4 carbon atoms, nitro, cyano, halogen,

and where the optionally remaining radicals R^1 , X and/or Y are identical or different and each represents hydrogen, azido, formyl, mercaptyl, carboxyl, hydroxyl, straight-chain or branched acyl, alkoxy, alkylthio or alkoxycarbonyl having in each case up to 4 carbon atoms, nitro, cyano, halogen, phenyl or straight-chain or branched alkenyl or alkynyl having in each case up to 4 carbon atoms or alkyl having up to 18 carbon atoms, where both alkenyl, alkynyl and/or alkyl may optionally be substituted by hydroxyl,

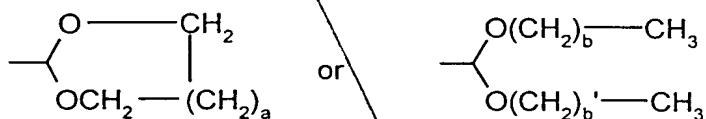
C²
cont⁵

amino, azido, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 4 carbon atoms, phenyl, naphthyl or pyridyl, halogen, cyano, dialkylamino having up to 6 carbon atoms, alkylamino having up to 4 carbon atoms and/or cyclopropyl, cyclopentyl, cyclohexyl or by a radical of the formula -OR⁴,

in which

10 R⁴ represents straight-chain or branched acyl having up to 4 carbon atoms,

and/or the optionally remaining radicals R¹, X and/or Y each represent a radical of the formula



in which

20 a, b and b' are identical or different and represent a number 0, 1 or 2,

25 and/or the optionally remaining radicals R¹, X and/or Y each represent a 3- to 8-membered ring, which may also be linked to the pyrimidine via a -CO-bridge, and which may be saturated, unsaturated and/or partially unsaturated, which may contain 1 to 3 heteroatoms from the group consisting of N, O, S, SO, SO₂, which may also be attached via N, which may optionally contain a carbonyl group as ring member and which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of straight-chain or branched alkyl having up to 4 carbon atoms which is optionally substituted by hydroxyl, amino, halogen, carboxyl,

straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 4 carbon atoms,

and/or the optionally remaining radicals R^1 , X and/or Y each represent straight-chain or branched acyl having up to 4 carbon atoms which is optionally substituted by halogen,

or

represent straight-chain or branched acyloxy having up to 4 carbon atoms,

or

represents phenylthio,

and/or

represent radicals of the formulae $-SO_3H$ or $S(O)_cR^6$,

in which

c represents a number 1 or 2,

R^6 represents straight-chain or branched alkyl having 1 to 8 carbon atoms, phenyl or a 5- to 6-membered heterocycle having up to 2 heteroatoms from the group consisting of S, N and/or O, where the ring systems may optionally be substituted by halogen or by straight-chain or branched alkyl or alkoxy having in each case up to 3 carbon atoms,

and/or the optionally remaining radicals R^1 , X and/or Y each represent a radical of the formula $PO(OR^7)(OR^8)$,

in which

R^7 and R^8 are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms or cyclopropyl, cyclopentyl, phenyl or benzyl,

and/or the optionally remaining radicals R^1 , X and/or Y each represent oxycycloalkyl having 3 to 6 carbon atoms or represent radicals of the formulae

$-NH-C(=NH)NH_2$, $-CON=C(NH_2)_2$ or $-C=NH(NH_2)$, $(CO)_dNR^9R^{10}$ or $-NHCONR^9R^{10}$,

in which

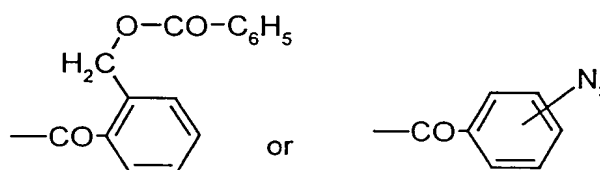
d represents a number 0 or 1,

R^9 and R^{10} are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms or cyclopropyl, cyclopentyl, cyclohexyl, phenyl or a 3- to 6-membered ring having up to 3 heteroatoms from the group consisting of N, O, S, which may also be attached via N,

where the abovementioned radicals may optionally be substituted by phenyl, cyclopropyl, cyclopentyl, hydroxyl, amino or straight-chain or branched alkoxy, acyl or alkoxycarbonyl having in each case up to 4 carbon atoms,

and in the case that $d = 0$,

R⁹ and R¹⁰ may also represent straight-chain, branched or cyclic acyl having up to 6 carbon atoms, straight-chain or branched hydroxyalkyl having up to 4 carbon atoms, straight-chain or branched alkoxyalkyl having a total of up to 10 carbon atoms, straight-chain or branched alkoxycarbonyl or acyloxyalkyl having in each case up to 4 carbon atoms or a radical of the formula -SO₂R¹¹ or a radical of the formulae

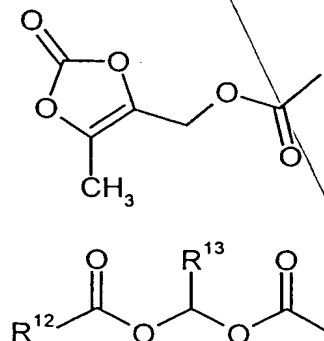


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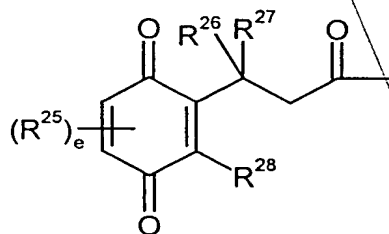
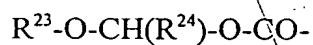
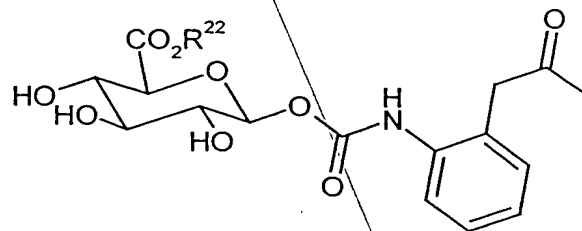
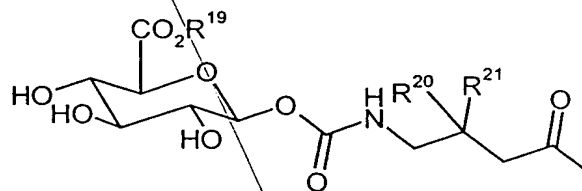
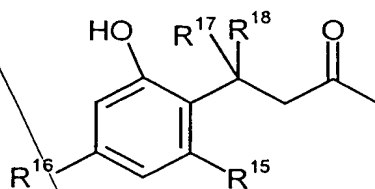
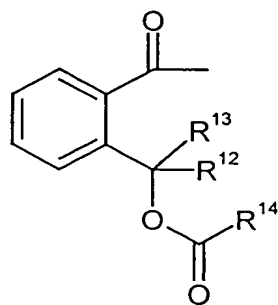
~~R¹¹ represents straight-chain or branched alkyl having up to 3 carbon atoms,~~

and/or

R^9 and R^{10} represent radicals of the formulae



Co
cont



in which

R^{12} , R^{13} and R^{15} to R^{28} are identical or different and each represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

e represents a number 0, 1 or 2,

and

R^{14} represents straight-chain or branched alkyl having up to 6 carbon atoms or cycloalkyl having 3 to 8 carbon atoms,

R^9 and R^{10} are identical or different and each represents hydrogen; alkyl having up to 4 carbon atoms which is optionally substituted by hydroxyl or alkoxy having up to 7 carbon atoms, phenyl which is optionally substituted by halogen,

R^2 and R^3 , together with the double bond, form a fused phenyl, pyridyl, pyrimidinyl, pyrazinyl or pyridazinyl ring,

which are optionally substituted up to 2 times by identical or different substituents from the group consisting of formyl, carboxyl, hydroxyl, mercaptyl, straight-chain or branched acyl, alkylthio or alkoxycarbonyl having in each case up to 5 carbon atoms, nitro, cyano, azido, fluorine, chlorine, bromine or straight-chain or branched alkyl or alkoxy having in each case up to 5 carbon atoms which for its part may be substituted by hydroxyl, amino, carboxyl, straight-chain or branched acyl, alkoxy or alkoxycarbonyl having in each case up to 4 carbon atoms,

and/or

the abovementioned heterocyclic rings or phenyl are optionally substituted by a group of the formula $-NR^{29}R^{30}$,

in which

C12
art

5 R^{29} and R^{30} are identical or different and represent hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms

or-

R^{29} represents hydrogen

and

10 R^{30} represents formyl

and/or the abovementioned fused phenyl, pyridyl, pyrimidinyl, pyrazinyl or pyridazinyl rings are optionally substituted by phenyl which for its part may be substituted by fluorine, chlorine, bromine or by straight-chain or branched alkyl or alkoxy having in each case up to 4 carbon atoms,

15 A represents thienyl, tetrahydropyranyl, tetrahydrofuranyl, phenyl, morpholinyl, pyrimidyl, pyrazinyl, pyridazinyl or pyridyl which are optionally substituted up to 2 times by identical or different substituents from the group consisting of hydroxyl, formyl, carboxyl, straight-chain or branched acyl, alkylthio, alkyloxyacyl, alkoxy or alkoxycarbonyl having in each case up to 4 carbon atoms, fluorine, chlorine or bromine,

25 and their isomeric forms and salts.

3. Compounds according to Claim 1,

in which

30

at least one of the substituents R^1 , X and Y represents cyclopropyl which is optionally substituted by hydroxyl or fluoromethyl, or represents cyclobutyl, cyclopentenyl, cyclopentyl or cyclohexyl,

and where the optionally remaining radicals R^1 , X and/or Y are identical or different and each represents hydrogen, hydroxyl, halogen or azido,

and/or

represent a 3- to 6-membered ring which may be saturated, unsaturated and/or partially unsaturated and may contain 1 to 3 heteroatoms from the group consisting of N, O, S, SO, SO₂, which may optionally contain a carbonyl group as ring member, which may also be attached via N and which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of straight-chain or branched alkyl having up to 4 carbon atoms which is optionally substituted by hydroxyl, amino, halogen, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 4 carbon atoms,

and/or

represent straight-chain or branched acyl having up to 4 carbon atoms which is optionally substituted by halogen,

or

represent straight-chain or branched acyloxy having up to 4 carbon atoms,

and/or

represent radicals of the formulae $-SO_3H$ or $S(O)_cR^6$,

in which

c represents a number 1 or 2,

R^6 represents straight-chain or branched alkyl having 1 to 8 carbon atoms, phenyl or a 5- to 6-membered heterocycle having up to 2 heteroatoms from the group consisting of S, N and O, where the ring systems may optionally be substituted by halogen or by straight-chain or branched alkyl or alkoxy having in each case up to 3 carbon atoms,

and/or

represent a radical of the formula $PO(OR^7)(OR^8)$,

in which

R^7 and R^8 are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms or cyclopropyl, cyclopentyl, phenyl or benzyl,

and/or

represent oxycycloalkyl having 3 to 6 carbon atoms or represent radicals of the formulae $-CON=C(NH_2)_2$ or $-C=NH(NH_2)$ or $(CO)_dNR^9R^{10}$ or $NHCONR^{12}R^{13}$,

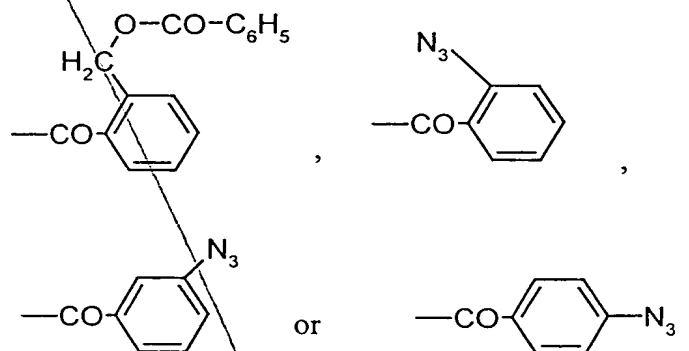
in which

d represents a number 0 or 1,

*Co
cont* 5 R^9 and R^{10} are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms or cyclopropyl, cyclopentyl, cyclohexyl or phenyl,

and in the case where $d = 0$

10 R^9 and R^{10} also represent straight-chain, branched or cyclic acyl having up to 5 carbon atoms, straight-chain or branched hydroxyalkyl having up to 3 carbon atoms, straight-chain or branched alkoxyalkyl having a total of up to 8 carbon atoms, straight-chain or branched alkoxy carbonyl or acyloxyalkyl having in each case up to 3 carbon atoms or a radical of the formula $-SO_2R^{11}$ or a radical of the formulae



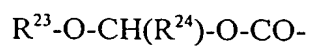
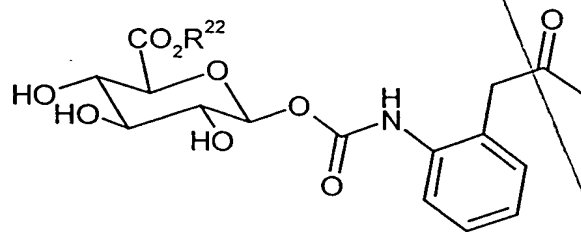
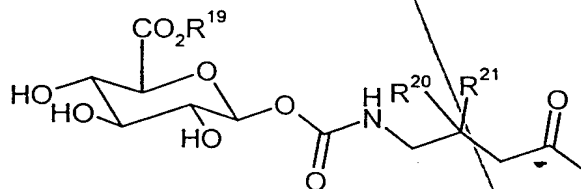
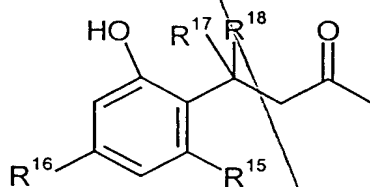
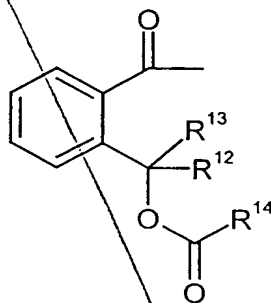
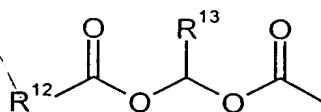
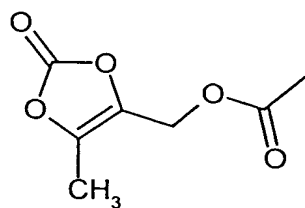
15 in which

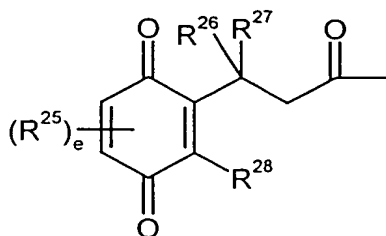
R^{11} represents straight-chain or branched alkyl having up to 4 carbon atoms

20 and/or

R^9 and R^{10} represent radicals of the formulae

C²
C¹





in which

R¹², R¹³ and R¹⁵ to R²⁸ are identical or different and represent hydrogen or
straight-chain or branched alkyl having up to 4 carbon atoms,

e represents a number 0, 1 or 2

and

R¹⁴ represents straight-chain or branched alkyl having up to 6 carbon
atoms or cycloalkyl having 3 to 8 carbon atoms,

R⁹ and R¹⁰ are identical or different and represent hydrogen; alkyl having up
to 4 carbon atoms which is optionally substituted by hydroxyl or
alkoxy having up to 7 carbon atoms, phenyl which is optionally
substituted by halogen,

R² and R³ form, together with the double bond, a phenyl, pyridyl or
pyrimidinyl ring,

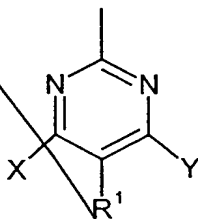
A represents phenyl or pyrimidyl, each of which is optionally substituted
by fluorine, chlorine or bromine,

and their isomeric forms and salts.

4. Compounds according to any of the preceding claims,

in which

R¹, X and Y are attached to the pyrimidine ring as follows



and

R¹ represents an optionally substituted cyclopropyl, cyclobutyl, cyclopentenyl, cyclopentyl, cyclohexyl, 1-hydroxycyclopropyl or 1-(fluoromethyl)cyclopropyl radical,

X represents NH₂

and

Y represents hydrogen or NH₂.

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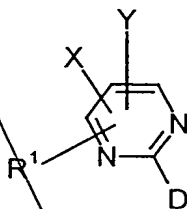
5. Compounds according to claim 4, in which R¹ represents an optionally substituted cyclopropyl radical.

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6. Process for preparing the compounds of the general formula (I) according to Claim 1, characterized in that,

depending on the various meanings of the heterocycles listed above under R^2 and R^3 ,

[A] compounds of the general formula (II)



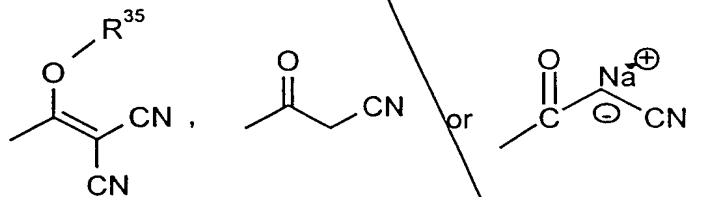
(II),

in which

R^1 , X and Y are each as defined above

and

D represents radicals of the formulae



in which

R^{38} represents C_1 - C_4 -alkyl

are converted, by reaction with compounds of the general formula (III)



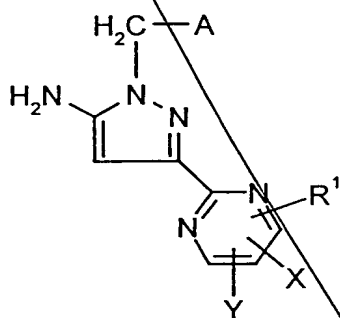
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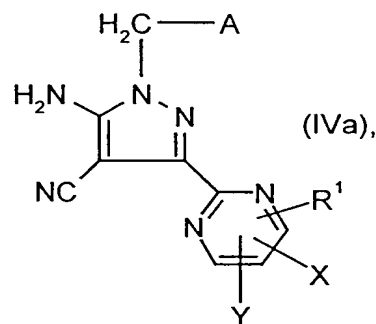
in which

A is as defined above

in inert solvents, if appropriate in the presence of a base, into the compounds of the general formula (IV) or (IVa)



(IV) and



in which

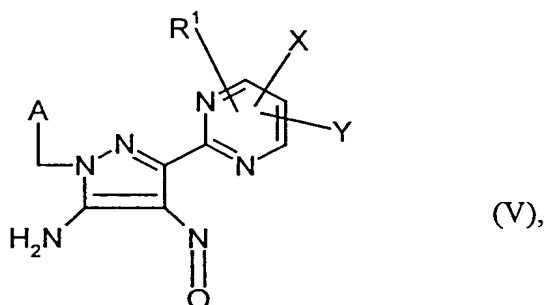
A, X, Y and R¹ are each as defined above,

and, in the case of the compounds of the general formula (IVa), are subsequently cyclized with carboxylic acids, nitriles, formamides or guanidium salts,

and, in the case of the compounds of the general formula (IV), are cyclized with 1,3-dicarbonyl derivatives, their salts, tautomers, enol ethers or enamines, in the presence of acids and, if appropriate, under microwave irradiation,

or

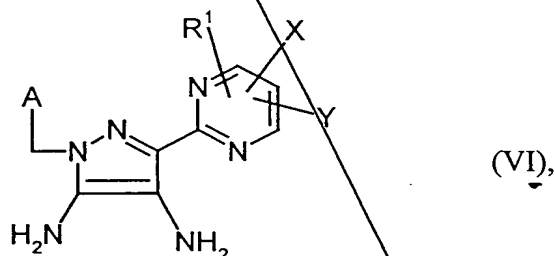
[B] in the case that R^2 and R^3 together form a pyrazine ring, compounds of the general formula (IV) are initially converted by nitrosation into the compounds of the general formula (V)



in which

A, X, Y and R^1 are each as defined above,

in a second step, the compounds of the general formula (VI)



in which

A, X, Y and R^1 are each as defined above

are prepared by a reduction,

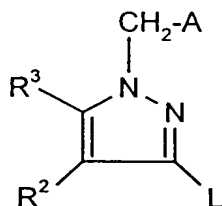
and these are subsequently cyclized with 1,2-dicarbonyl compounds, preferably aqueous glyoxal solution,

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or

[C] compounds of the general formula (VII)



(VII),

in which

A^1 , R^2 and R^3 are each as defined above

and

L represents a radical of the formula $-SnR^{36}R^{37}R^{38}$, ZnR^{39} , iodine, bromine or triflate,

in which

R^{36} , R^{37} and R^{38} are identical or different and each represents straight-chain or branched alkyl having up to 4 carbon atoms

and

R^{39} represents halogen

are reacted with compounds of the general formula (VIII)

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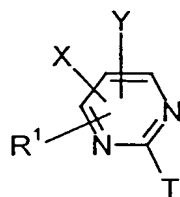
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(VIII),

in which

X, Y and R¹ are each as defined above

and

in the case that L = SnR³⁶R³⁷R³⁸ or ZnR³⁹,

T represents triflate or represents halogen, preferably bromine

and,

in the case that L = iodine, bromine or triflate,

T represents a radical of the formula SnR³⁶R³⁷R³⁸, ZnR³⁹ or BR⁴⁰R⁴¹,

in which

R³⁶, R³⁷, R³⁸ and R³⁹ have the meanings of R³⁶, R³⁷, R³⁸ and R³⁹ given above and are identical to or different from them,

R⁴⁰ and R⁴¹ are identical or different and each represent hydroxyl, aryloxy having 6 to 10 carbon atoms or straight-chain or branched alkyl or alkoxy having in each case up to 5 carbon atoms, or together form a 5- or 6-membered carbocyclic ring

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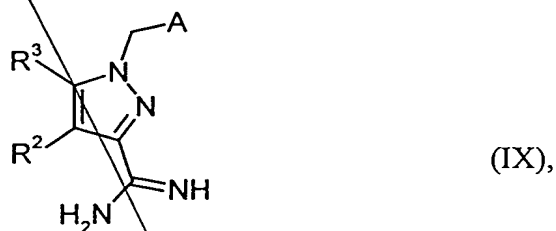
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in a palladium-catalysed reaction in inert solvents, if appropriate in the presence of a base,

or

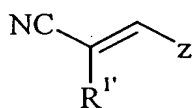
[D] amidines of the general formula (IX)



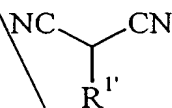
in which

A, R² and R³ are each as defined above

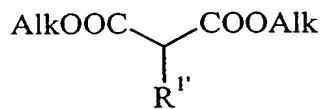
are reacted, for example, with compounds of the general formula (X), (Xa), (Xb) or (Xc)



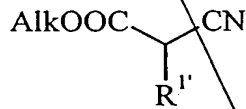
(X)



(Xa)



(Xb)



(Xc),

in which

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R' represents the optionally substituted cycloalkyl radical listed above under R';

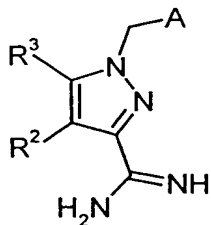
Alk represents straight-chain or branched alkyl having up to 8 carbon atoms, preferably up to four carbon atoms;

and

Z represents an NH₂ group, a monoalkylamino group having up to 7 carbon atoms, a dialkylamino group having up to 7 carbon atoms, a piperidinyl or morpholinyl radical which is attached via the nitrogen, hydroxyl, alkoxy having up to 7 carbon atoms, acyloxy having up to 7 carbon atoms or aroyloxy having 6 to 10 carbon atoms,

and, if appropriate, the substituents listed under X, Y, R¹, R², R³ and/or A are modified or introduced by customary methods, preferably by acylation and derivatization of free amino groups, chlorination, catalytic hydrogenation, reduction, oxidation, removal of protective groups and/or nucleophilic substitution.

7. Amidines of the general formula (IX)



(IX),

in which

R^2 , R^3 and A are each as defined in one of the preceding Claims 1 to 3,

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and their isomeric forms and salts.

- 5 8. Medicaments, comprising at least one compound of the general formula (I) according to Claim 1.

9. Process for preparing medicaments, characterized in that at least one compound of the formula (I) according to Claim 1, if appropriate with customary auxiliaries and additives, is converted into a suitable administration form.

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10. Medicaments, comprising at least one compound of the general formula (I) according to Claim 1 in combination with organic nitrates or NO donors.

- 15 11. Medicaments, comprising at least one compound of the general formula (I) according to Claim 1 in combination with compounds which inhibit the degradation of cyclic guanosine monophosphate (cGMP).

12. Use of compounds of the general formula (I) according to Claim 1 for preparing medicaments.

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13. Use of compounds of the general formula (I) according to Claim 1 for preparing medicaments for the treatment of cardiovascular diseases.

- 25 14. Use of compounds of the general formula (I) according to Claim 1 for preparing medicaments for the treatment of hypertension.

15. Use of compounds of the general formula (I) according to Claim 1 for preparing medicaments for the treatment of thromboembolic disorders and ischaemia.

- 30 16. Use of compounds of the general formula (I) according to Claim 1 for preparing medicaments for the treatment of sexual dysfunction.

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17. Use of compounds of the general formula (I) according to Claim 1 for preparing medicaments having anti-inflammatory properties.
- 5 18. Use according to any of Claims 12 to 17 where the compounds of the general formula (I) according to Claim 1 are used in combination with organic nitrates or NO donors or in combination with compounds which inhibit the degradation of cyclic guanosine monophosphate (cGMP).

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